=> d 11
L1 HAS NO ANSWERS
L1 PS NO ANSWE

REP G1=(0-7) CH NODE ATTRIBUTES: DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES: RSPEC 5 NUMBER OF NODES IS 21

Cy 21

STEREO ATTRIBUTES: NONE

=> s 11 ful FULL SEARCH INITIATED 11:35:09 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 1339 TO ITERATE

100.0% PROCESSED 1339 ITERATIONS SEARCH TIME: 00.00.01

L3 44 SEA SSS FUL L1

=> d scan

L3 44 ANSWERS REGISTRY COPYRICHT 2005 ACS on STN
IN 2,5-Pyrrolidinedione, 1-[2-[4-[[[(3R,4S)-4-[4-[3-[(2-fluorophenyl]methoxy]propoxy]phenyl]-3-piperidinyl]amino]methyl]phenoxy]ethyl]-, rel- (9CI)
MF C34 H40 F N3 O5

44 ANSWERS

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):43

- L3 44 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
- IN 2-Naphthalenecarboxylic acid, 6-[[[4-[4-[3-[(2fluorophenyl]methoxy]propoxy]phenyl]-3-piperidinyl]amino]methyl]-, methyl ester (9CI)
- MF C34 H37 F N2 O4

# \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- L3 44 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
- IN 7-Quinolinemethanamine, N-[(3R,4S)-4-[4-[3-[(2-methoxyphenyl)methoxy]propoxy]phenyl]-3-piperidinyl]-, rel- (9CI)
- MF C32 H37 N3 O3

- L3
- IN
- 44 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN 2-Pyrrolidinone, 1-[2-[4-[[[(3R, 45)-4-[4-[3-[(2-fluorophenyl)methoxy]propoxy]phenyl)-3-piperidinyl]amino]methyl]phenoxy]et
- hyl]-, rel- (9CI) C34 H42 F N3 O4 MF

- \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*
- REGISTRY COPYRIGHT 2005 ACS on STN 44 ANSWERS L3
- 2-Naphthalenecarboxylic acid, 6-[[[4-[4-[3-[(2-TN
- fluorophenyl)methoxy]propoxy]phenyl]-3-piperidinyl]amino]methyl]- (9CI)
- MF C33 H35 F N2 O4

- \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*
- L3 44 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
- IN 7-Quinolinemethanamine, 1,2,3,4-tetrahydro-N-[(3R,4S)-4-[4-[3-[(2-methoxyphenyl]methoxy]propoxy]phenyl]-3-piperidinyl]-, rel- (9CI) MF C32 41 N3 03

Relative stereochemistry.

- \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*
- L3 44 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
- IN 1(2H)-Quinolineacetamide, 3,4-dihydro-7-[[[(3R,4S)-4-[4-[3-[(2-methoxyphenyl)methoxy]propoxy]phenyl]-3-piperidinyl]amino]methyl]-N,N-
- dimethyl-, rel- (9CI) MF C36 H48 N4 O4

- L3 44 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
- IN 3-Piperidinamine, 4-[4-[3-((2-fluorophenyl)methoxy]propoxy]phenyl]-N-[[4-fluoro-3-(trifluoromethyl)phenyl]methyl]- (9CI)
- MF C29 H31 F5 N2 O2

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- L3 44 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
- IN 3-Piperidinamine, 4-[4-[3-[(2-methoxyphenyl)methoxy]propoxy]phenyl]-N-methyl-N-(2-naphthalenylmethyl)-, (3R,4S)-rel- (9CI)
- MF C34 H40 N2 O3

- L3 44 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
- 3-Piperidinamine, 4-[4-[3-[(2-methoxyphenyl)methoxy]propoxy]phenyl]-N-(2-IN naphthalenylmethyl) - (9CI)
- C33 H38 N2 O3 MF

# \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- L3
- 44 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN Acetic acid, [4-[[4-[4-[3-[(2-fluorophenyl)methoxy]propoxy]phenyl]-3-IN piperidinyl]amino]methyl]phenoxy]-, methyl ester (9CI)
- C31 H37 F N2 O5 MF

- \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT \*\*
- L3 44 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
- IN 2-Naphthalenol, 6-[[[(3R,4S)-4-[4-[3-[(2-methoxyphenyl)methoxy]propoxy]phenyl]-3-piperidinyl]amino]methyl]-, rel- (9CI)
- MF C33 H38 N2 O4

- \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT \*\*
- L3 44 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
- IN 3-Piperidinamine, N-[(6-methoxy-2-naphthalenyl)methyl]-4-[4-[3-[(2-methoxyphenyl)methoxy]propoxy]phenyl]- (9CI)
- MF C34 H40 N2 O4

- L3
- 44 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN 2,5-Pyrrolidinedione, 1-[2-[4-[[4-(4-[3-[(2-fluorophenyl)methoxy]propoxy] IN phenyl]-3-piperidinyl]amino]methyl]phenoxy]ethyl]- (9CI) C34 H40 F N3 O5
- MF

PAGE 1-A

PAGE 2-A

L3 44 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN

IN 3-Piperidinamine, N-(5-benzofuranylmethyl)-4-(4-[3-((2-methoxyphenyl)methoxy]propoxy]phenyl]-, (3R,4S)-rel- (9CI)

MF C31 H36 N2 O4

Relative stereochemistry.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 44 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN

IN 7-Quinolinemethanamine, N-[4-[4-[3-[(2-methoxyphenyl)methoxy]propoxy]pheny 1]-3-piperidinyl]- (9CI)

MF C32 H37 N3 O3

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 44 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN

IN 2-Pyrrolidinone, 1-[2-[4-[[4-[3-[(2-fluorophenyl)methoxy]propoxy]pheny
1]-3-piperidinyl]amino]methyl]phenoxy]ethyl]- (9CI)

MF C34 H42 F N3 O4

PAGE 2-A

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 44 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN IN 1H-Indole-5-methanamine, N-[(3R,4S)-4-[4-[3-[(2-

methoxyphenyl)methoxy]propoxy]phenyl]-3-piperidinyl]-, rel- (9CI)
MF C31 H37 N3 O3

L3 44 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN

TN 7-Quinolinemethanamine, 1,2,3,4-tetrahydro-N-[4-[4-[3-[(2-methoxyphenyl)methoxy]propoxy]phenyl]-3-piperidinyl]- (9CI)

MF C32 H41 N3 O3

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 44 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN IN 1(2H)-Quinolineacetamide, 3,4-dihydro-7-[[[4-[4-[3-[(2-

IN 1(2H)-Quinolineacetamide, 3,4-dihydro-7-[[[4-[4-[3-[(2-methoxyphenyl)methoxy]propoxy]phenyl]-3-piperidinyl]amino]methyl]-N,N-dimethyl-(9CI)

MF C36 H48 N4 O4

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 44 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN IN 1-Naphthalenecarboxylic acid, 6-[[[(3R,4S)-4-[4-

1-Maphthalenecarboxylic acid, 6-[[[(3R,4\$)-4-[4-[3-[(2methoxyphenyl)methoxy]propoxy]phenyl]-3-piperidinyl]amino]methyl]-, methyl ester, rel- (9CI) Relative stereochemistry.

- \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*
- L3 44 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
- IN 3-Piperidinamine, 4-[4-[3-[(2-methoxyphenyl)methoxy]propoxy]phenyl]-N-methyl-N-(2-naphthalenylmethyl)- (9CI)
- MF C34 H40 N2 O3

- \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*
- L3 44 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
- IN 3-Piperidinamine, N-([1,1'-biphenyl]-4-ylmethyl)-4-[4-[3-[(2-
- methoxyphenyl)methoxy]propoxy]phenyl]-, (3R,4S)-rel- (9CI)
- MF C35 H40 N2 O3

- L3 44 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
- IN 1-Naphthalenecarboxylic acid, 6-[[[(3R,4S)-4-[4-[3-[(2-methoxyphenyl)methoxy]propoxy]phenyl]-3-piperidinyl]amino]methyl]-, rel-(9CI)
- MF C34 H38 N2 O5

Relative stereochemistry.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- L3 44 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
- IN 2-Naphthalenol, 6-[[[4-[4-[3-[(2-methoxyphenyl)methoxy]propoxy]phenyl]-3piperidinyl]amino]methyl]- (9CI)
- MF C33 H38 N2 O4

L3 44 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN

IN 1,2-Ethanedisulfonic acid, compd. with rel-(3R,4S)-4-[4-[3-[(2-methoxyphenyl)methoxy)propoxylphenyl]-N-(2-naphthalenylmethyl)-3-niperidinamine (1:1) (9CI)

piperidinamine (1:1) (9CI) MF C33 H38 N2 O3 . C2 H6 O6 S2

CM 1

Relative stereochemistry.

CM 2

нозs-сн2-сн2-sозн

- L3 44 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
- IN 2-Naphthalenecarboxylic acid, 6-[[[(3R,4S)-4-[4-[3-[(2-methoxyphenyl)methoxy]propoxy]phenyl]-3-piperidinyl]amino]methyl]-, methyl ester, rel- (9[I])
- MF C35 H40 N2 O5

- L3 44 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
- IN 3-Piperidinamine, N-(5-benzofuranylmethyl)-4-[4-[3-[(2-methoxyphenyl)methoxy]propoxy]phenyl]- (9CI)
- MF C31 H36 N2 O4

#### \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- L3 44 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
- IN 3-Piperidinamine, N-[(2-methoxyphenyl)methyl]-2,4-diphenyl- (9CI)
- MF C25 H28 N2 O
  - CI COM

- L3 44 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
- IN 7-Ouinolinemethanamine, N-[(3R,4S)-4-[4-[3-[(2-
- fluorophenyl)methoxy]propoxy]phenyl]-3-piperidinyl]-, rel- (9CI)
- MF C31 H34 F N3 O2

Relative stereochemistry.

# \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- L3 44 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
- IN 1H-Indole-5-methanamine, N-[4-[4-[3-[(2-methoxyphenyl)methoxy]propoxy]phen yl]-3-piperidinyl]- (9CI)
- MF C31 H37 N3 O3

- L3 44 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
- IN 3-Piperidinamine, N-[(2-methoxyphenyl)methyl]-2,4-diphenyl-, hydrochloride
- MF C25 H28 N2 O . x C1 H

●x HCl

- L3 44 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
- IN 2-Naphthalenecarboxylic acid, 6-[[[(3R,4S)-4-[4-[3-[(2-fluorophenyl)methoxy]propoxy]phenyl]-3-piperidinyl]amino]methyl]-, methyl ester, rel-(9CI)
- MF C34 H37 F N2 O4

- \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*
- L3 44 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN IN 1-Naphthalenecarboxylic acid, 6-[[[4-[4-[3-[(2-
- methoxyphenyl)methoxy]propoxy]phenyl]-3-piperidinyl]amino]methyl]-, methyl ester (9CI)

- L3 44 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
- IN 2-Piperidinone, 5-[[(2-methoxyphenyl)methyl]amino]-4,6-diphenyl- (9CI)
- MF C25 H26 N2 O2

# \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- L3 44 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
- IN 2-Naphthalenecarboxylic acid, 6-[[(3R,4S)-4-[4-[3-[(2-fluorophenyl)methoxy]propoxy]phenyl]-3-piperidinyl]amino]methyl]-, rel-(9CI)
- MF C33 H35 F N2 O4

- L3 44 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
- IN 1-Naphthalenecarboxylic acid, 6-[[[4-[4-[3-[(2-methoxyphenyl]methoxy]propoxy]phenyl]-3-piperidinyl]amino]methyl]- (9CI)
  MF C34 H38 N2 05

- \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*
- L3 44 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
- IN 3-Piperidinamine, N-[(5-chloro-2-methoxyphenyl)methyl]-2,4-diphenyl- (9CI)
  MF C25 H27 Cl N2 O

L3 44 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN

IN 3-Piperidinamine, 4-[4-[3-[(2-fluorophenyl)methoxy]propoxy]phenyl]-N-[[4-fluoro-3-(trifluoromethyl)phenyl]methyl]-, (3R,4S)-rel- (9CI)

MF C29 H31 F5 N2 O2

Relative stereochemistry.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 44 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN

IN 2-Naphthalenecarboxylic acid, 6-[[[4-[4-[3-[[2-methoxyphenyl]methoxy]propoxy]phenyl]-3-piperidinyl]amino]methyl]-, methyl ester (9CI)

MF C35 H40 N2 O5

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 44 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN

'IN 3-Piperidinamine, 4-[4-[3-[(2-methoxyphenyl)methoxy]propoxy]phenyl]-N-(2-naphthalenylmethyl)-, (3R,4S)-rel- (9CI)

MF C33 H38 N2 O3

CI COM

Relative stereochemistry.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT \*\*

L3 44 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN

IN Acetic acid, [4-[[[(3R,4S)-4-[4-[3-[(2-fluorophenyl)methoxy]propoxy]phenyl]
-3-piperidinyl]amino]methyl]phenoxy]-, methyl ester, rel- (9CI)
MF C31 H37 F N2 O5

- \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*
- L3 44 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
- IN 7-Quinolinemethanamine, N-[4-[4-[3-[(2-fluorophenyl)methoxy]propoxy]phenyl ]-3-piperidinyl]- (9CI)

L3 44 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN

IN 3-Piperidinamine, N-[(6-methoxy-2-naphthalenyl)methyl]-4-[4-[3-[(2-

methoxyphenyl)methoxy[propoxy]phenyl]-, (3R,4S)-rel- (9CI)

MF C34 H40 N2 O4

Relative stereochemistry.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

#### ALL ANSWERS HAVE BEEN SCANNED

=> fil caplus
COST IN U.S. DOLLARS
SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST 168.76 168.97

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FILE COVERS 1907 - 17 Feb 2005 VOL 142 ISS 8 FILE LAST UPDATED: 16 Feb 2005 (20050216/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 13

19 L3 L4

- ANSWER 1 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN
- => d bib abs hitstr 1-19 ΑN 2004:1043341 CAPLUS
- 142:106555 DN
- The discovery and preparation of disubstituted novel amino-aryl-piperidine-TI based renin inhibitors
- Cody, Wayne L.; Holsworth, Daniel D.; Powell, Noel A.; Jalaie, Mehran; AU Zhang, Erli; Wang, Wei; Samas, Brian; Bryant, John; Ostroski, Robert; Ryan, Michael J.; Edmunds, Jeremy J.
- Department of Chemistry, Pfizer Global Research and Development, Michigan CS Laboratories, Ann Arbor, MI, 48105, USA
- Bioorganic & Medicinal Chemistry (2004), Volume Date 2005, 13(1), 59-68 SO CODEN: BMECEP; ISSN: 0968-0896
- Elsevier Ltd. PB
- Journal DT
- LA English
- Recently, trans-disubstituted oxo-aryl-piperidines have been identified as AB small mol. nonpeptide renin inhibitors for the modulation of hypertension. Herein, the authors report on the discovery and preparation of a new class of novel cis-disubstituted amino-aryl-piperidines as a mixture of enantiomers that are potent in vitro renin inhibitors and also, possess in vivo antihypertensive activity in a double transgenic mouse model.
- TТ 773092-07-2P 773092-08-3P 773092-09-4P
  - 773092-10-7P 773092-13-0P 773092-14-1P
    - 773092-15-2P 773092-16-3P 821771-65-7P
  - RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
    - (discovery and preparation of disubstituted amino-aryl-piperidine-based renin inhibitors)
- 773092-07-2 CAPLUS RN
- 3-Piperidinamine, 4-[4-[3-[(2-methoxyphenyl)methoxy]propoxy]phenyl]-N-(2-CN naphthalenylmethyl)-, (3R, 4S)-rel- (9CI) (CA INDEX NAME)

RN 773092-08-3 CAPLUS

CN 3-Piperidinamine, N-[(6-methoxy-2-naphthalenyl)methyl]-4-[4-[3-[(2-methoxyphenyl)methoxy]propoxy]phenyl]-, (3R,4S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 773092-09-4 CAPLUS

CN 7-Quinolinemethanamine, N-[(3R,4S)-4-[4-[3-[(2-methoxyphenyl)methoxy]propoxy]phenyl]-3-piperidinyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 773092-10-7 CAPLUS

CN 7-Quinolinemethanamine, 1,2,3,4-tetrahydro-N-[(3R,4S)-4-[4-[3-[(2-

## Relative stereochemistry.

RN 773092-13-0 CAPLUS

CN 3-Piperidinamine, N-(5-benzofuranylmethyl)-4-[4-[3-[(2-methoxyphenyl)methoxy]propoxy]phenyl]-, (3R,43)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 773092-14-1 CAPLUS

CN 1H-Indole-5-methanamine, N-[(3R,4S)-4-[4-[3-[(2-methoxyphenyl)methoxy]propoxy]phenyl]-3-piperidinyl]-, rel- (9CI) (CA INDEX NAME)

· RN 773092-15-2 CAPLUS

CN 1-Naphthalenecarboxylic acid, 6-[[[(3R,4S)-4-[4-[3-[(2-methoxyphenyl]methoxy]propoxy]phenyl]-3-piperidinyl]amino]methyl]-, methyl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 773092-16-3 CAPLUS
CN 1-Naphthalenecarboxylic acid, 6-[[[(3R,4s)-4-[4-[3-[(2-methoxyphenyl)methoxy]propoxy]phenyl]-3-piperidinyl]-amino]methyl]-, rel-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 821771-65-7 CAPLUS
CN 3-Piperidinamine, N-([1,1'-biphenyl]-4-ylmethyl)-4-[4-[3-[(2-methoxyphenyl)methoxy]propoxy]phenyl]-, (3R,4S)-rel- (9CI) (CA INDEX NAME)

IT 821771-66-8

RL: PRP (Properties)

(discovery and preparation of disubstituted amino-aryl-piperidine-based renin inhibitors)

RN 821771-66-8 CAPLUS

CN 1,2-Ethanedisulfonic acid, compd. with rel-(3R,4s)-4-[4-[3-[(2-methoxyphenyl)methoxy]propoxy]phenyl]-N-(2-naphthalenylmethyl)-3-

piperidinamine (1:1) (9CI) (CA INDEX NAME)

CM

1

CRN 773092-07-2 CMF C33 H38 N2 O3

Relative stereochemistry.

CM 2

CRN 110-04-3 CMF C2 H6 O6 S2

HO3S-CH2-CH2-SO3H

RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN AN 2004:995777 CAPLUS

• DN 141:406121

New pharmaceutical combinations of nitric oxide synthase inhibitors and TI NK-1 receptor antagonists and selective serotonin reuptake inhibitors for treatment of disorders facilitated by altering circadian rhythms

ΤN Saltarelli, Mario David; Lowe, John Adams

PA Pfizer Inc. USA

U.S. Pat. Appl. Publ., 59 pp., Division of U.S. Ser. No. 572,619. SO

CODEN: USXXCO

DТ Patent

LA English FAN. CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI PRAI	US 2004229911 US 2000-572619	A1 A3	20041118 20000517	US 2004-867123	20040614

MARPAT 141:406121 OS

The present invention relates to new pharmaceutical uses for compds. that AB exhibit activity as nitric oxide synthase (NOS) inhibitors. Specifically, it relates to the use of NOS inhibitors, particularly selective neuronal NOS (nNOS) inhibitors, alone or in combination with another active agent, in particular, either an SSRI (selective serotonin reuptake inhibitor) or an NK-1 receptor antagonist, for the treatment of disorders or conditions the treatment which can be effected or facilitated by altering circadian rhythms. Examples of such disorders and conditions are blindness, obesity, seasonal affective disorder, bipolar disorder; jet lag, circadian sleep rhythms disorder, sleep deprivation, parasomnias, REM sleep disorders, hypersomnia, sleep-wake cycle disorders, narcolepsy and sleep disorders associated with shift work or irregular work schedules; nocturnal enuresis, and restless-legs syndrome.

#### IT 136871-15-3

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

(Biological study); USES (Uses)

(new pharmaceutical combinations of nitric oxide synthase inhibitors and NK-1 receptor antagonists and selective serotonin reuptake inhibitors for treatment of disorders facilitated by altering circadian rhythms)

136871-15-3 CAPLUS RN

3-Piperidinamine, N-[(2-methoxyphenyl)methyl]-2,4-diphenyl- (9CI) CN INDEX NAME)

ANSWER 3 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN L4

AN 2004:857185 CAPLUS

DN 141:332059

Preparation of disubstituted piperidine derivatives as renin inhibitors ТΤ

Cody, Wayne Livingston; Edmunds, Jeremy John; Holsworth, Daniel Dale; TN Powell, Noel Aaron

PΔ

U.S. Pat. Appl. Publ., 40 pp. SO

CODEN: USXXCO

DT Patent

LA English

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'FAN.CNT 1
                                                                     DATE
                          KIND
                                 DATE
                                             APPLICATION NO.
      PATENT NO.
                                 -----
                                 20041014
                                             US 2004-811200
                                                                     20040326
 РΤ
      US 2004204455
                           A1
                                                                     20040401
                                             WO 2004-IB1162
      WO 2004089903
                           A1
                                 20041021
             AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
          w:
              CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
              GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
              LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA,
              NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL,
                                                                          SY,
                     TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
              TJ, TM,
          RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
              BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,
              ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
              SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN,
              TD, TG
 PRAI US 2003-461962P
                           Ρ
                                  20030410
                           Р
                                 20040209
      US 2004-542279P
 OS
      MARPAT 141:332059
 GΙ
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AB Title compds. I [R1-2 = H, (un)substituted alky]; R3 = H, oxo, thioxo; R0 = H, (un)substituted alky] provided that when R3 = (thi)oxo, R0 is absent; R4-7 = H, halo, carboxy, etc.; Q = (un)substituted amino, etc.; T = (un)substituted (hetero)aryl, alky]; W = absent, (un)substituted aryl, heteroaryl; Z = (alkyl)cyloalkylene, (alkyl)heterocycloalkylene, etc.] are prepared For instance, II was prepared in 4 steps from 3-hydroxy-4-(4-hydroxyphenyl)piperidine-1-carboxylic acid tert-Bu ester and 1-(3-lodopropoxymethyl)-2-methoxybenzene. Renin IC50 for II = 0.087 µM. I are useful for the treatment of, e.g., hypertension, congestive heart failure, etc.

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IT 773092-07-2P 773092-08-3P 773092-09-4P 773092-10-P 773092-11-8P 773092-11-8P 773092-12-9P 773092-16-3P 773092-16-3P 773092-16-3P 773092-16-3P 773092-16-3P 773092-21-0P 773092-24-3P 773092-20-9P 773092-25-5P 773092-21-0P 773092-31-2P 773092-33-3P 773092-33-4P 773092-31-2P 773092-35-6P 773092-33-4P 773092-35-6P 773092-33-9P 773092-31-3P 773
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773092-47-0P 773092-48-1P 773092-49-2P 773092-50-5P 773092-52-7P RE: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of disubstituted piperidine derivs. as renin inhibitors for the treatment of, e.g., hypertension and glaucoma)

RN 773092-07-2 CAPLUS

CN 3-Piperidinamine, 4-[4-[3-[(2-methoxyphenyl)methoxy]propoxy]phenyl]-N-(2-naphthalenylmethyl)-, (3R,4S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 773092-08-3 CAPLUS

CN 3-Piperidinamine, N-[(6-methoxy-2-naphthalenyl)methyl]-4-[4-[3-[(2-methoxyphenyl)methoxy]propoxy]phenyl]-, (3R,4S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 773092-09-4 CAPLUS

CN 7-Quinolinemethanamine, N-[(3R,4S)-4-[4-[3-[(2-methoxyphenyl)methoxy]propoxy]phenyl]-3-piperidinyl]-, rel- (9CI) (CA INDEX NAME)

RN 773092-10-7 CAPLUS

CN 7-Quinolinemethanamine, 1,2,3,4-tetrahydro-N-[(3R,4S)-4-[4-[3-[(2-methoxyphenyl)methoxy)propoxy)phenyl]-3-piperidinyl)-, rel- (9CI) (CA INDEX NAME)

## Relative stereochemistry.

RN 773092-11-8 CAPLUS
CN 3-Fiperidnamine, 4-[4-[3-[(2-methoxyphenyl)methoxy]propoxy]phenyl]-N-methyl-N-(2-naphthalenylmethyl)-, (3R,45)-rel-(9CI) (CA INDEX NAME)

#### Relative stereochemistry.

RN 773092-12-9 CAPLUS

CN 2-Naphthalenol, 6-[[[(3R,4S)-4-[4-[3-[(2-methoxyphenyl)methoxy]propoxy]phenyl]-3-piperidinyl]amino]methyl]-, rel- (9CI) (CA INDEX NAME)

'Relative stereochemistry.

- RN 773092-13-0 CAPLUS
- CN 3-Piperidinamine, N-(5-benzofuranylmethyl)-4-[4-[3-[(2-methoxyphenyl)methoxy]propoxy]phenyl]-, (3R,4S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

- RN 773092-14-1 CAPLUS
- CN 1H-Indole-5-methanamine, N-[(3R,4S)-4-[4-[3-[(2-methoxyphenyl)methoxy)propoxy]phenyl]-3-piperidinyl]-, rel- (9CI) (CA INDEX NAME)

·RN 773092-15-2 CAPLUS

CN 1-Naphthalenecarboxylic acid, 6-[[[(3R,4S)-4-[4-[3-[(2-methoxyphenyl)methoxy]propoxy]phenyl]-3-piperidinyl]amino]methyl]-, methyl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 773092-16-3 CAPLUS

CN 1-Naphthalenecarboxylic acid, 6-[[[(3R,45)-4-[4-[3-[(2-methoxyphenyl)methoxy]propoxy]phenyl]-3-piperidinyl]amino]methyl]-, rel-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 773092-18-5 CAPLUS

CN 2-Naphthalenecarboxylic acid, 6-[[[(3R,4S)-4-[4-[3-[(2-methoxyphenyl]methoxy]phenyl]necthoxylphenyl]necthyl]-, methyl ester, rel- (9C1) (CA INDEX NAME)

RN 773092-19-6 CAPLUS

CN 7-Quinolinemethanamine, N-[(3R,4s)-4-[4-[3-[(2-fluorophenyl]methoxy]propoxy]phenyl]-3-piperidinyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 773092-20-9 CAPLUS

CN 2-Naphthalenecarboxylic acid, 6-[[[(3R,4S)-4-[4-[3-[(2-fluorophenyl)methoxy]propoxy]phenyl]-3-piperidinyl]amino]methyl]-, methyl ester, rel- (9CI) (CA INDEX NAME)

RN 773092-21-0 CAPLUS

CN 2-Naphthalenecarboxylic acid, 6-[[[(3R,4S)-4-[4-[3-[(2-fluorophenyl)methoxy]propoxy]phenyl]-3-piperidinyl]amino]methyl]-, rel-(9CI) (CA INDEX NAME)

Relative stereochemistry.

773092-24-3 CAPLUS

CN 3-Piperidinamine, 4-[4-[3-[(2-fluorophenyl)methoxy]propoxy]phenyl]-N-[(4-fluoro-3-(trifluoromethyl)phenyl]methyl]-, (3R,45)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN

RN

773092-25-4 CAPLUS Acetic acid, [4-[[[(3R,4S)-4-[4-[3-[(2-fluorophenyl)methoxy]propoxy]phenyl CN ]-3-piperidinyl]amino]methyl]phenoxy]-, methyl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 773092-26-5 CAPLUS

CN 2,5-Pyrrolidinedione, 1-[2-[4-[[[(3R,4S)-4-[4-[3-[(2fluorophenyl)methoxy]propoxy]phenyl]-3-piperidinyl]amino]methyl]phenoxy]et hyl]-, rel- (9CI) (CA INDEX NAME)

RN 773092-27-6 CAPLUS

CN 2-Pyrrolidinone, 1-[2-[4-[[[(3R,4S)-4-[4-[3-[(2-fluorophenyl)methoxy]propoxy]phenyl]-3-piperidinyl]amino]methyl]phenoxy]et hyl]-, rel- (9C1) (CA INDEX NAME)

Relative stereochemistry.

RN 773092-29-8 CAPLUS

CN 1(2H)-Quinolineacetamide, 3,4-dihydro-7-[[[(3R,4S)-4-[4-[3-[(2-methoxyphenyl)methoxyphenyl]-3-piperidinyl]amino]methyl]-N,N-dimethyl-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 773092-30-1 CAPLUS

CN 3-Piperidinamine, 4-[4-[3-[(2-methoxyphenyl)methoxy]propoxy]phenyl]-N-(2-naphthalenylmethyl)- (9CI) (CA INDEX NAME)

RN 773092-31-2 CAPLUS

CN 3-Piperidinamine, N-[(6-methoxy-2-naphthalenyl)methyl]-4-[4-[3-[(2-methoxyphenyl)methoxy]propoxy]phenyl]- (9CI) (CA INDEX NAME)

RN 773092-32-3 CAPLUS

CN

7-Quinolinemethanamine, N-[4-[4-[3-[(2-methoxyphenyl)methoxy]propoxy]pheny 1]-3-piperidinyl]- (9CI) (CA INDEX NAME)

RN 773092-33-4 CAPLUS

CN 7-Quinolinemethanamine, 1,2,3,4-tetrahydro-N-[4-[4-[3-[(2-methoxyphenyl)methoxy]propoxy]phenyl]-3-piperidinyl]- (9CI) (CA INDEX NAME)

773092-34-5 CAPLUS

RN

CN 3-Piperidinamine, 4-[4-[3-[(2-methoxyphenyl)methoxy]propoxy]phenyl]-N-methyl-N-(2-naphthalenylmethyl)- (9CI) (CA INDEX NAME)

RN 773092-35-6 CAPLUS

CN 2-Naphthalenol, 6-[[[4-[4-[3-[(2-methoxyphenyl)methoxy]propoxy]phenyl]-3-piperidinyl]amino]methyl]- (9CI) (CA INDEX NAME)

RN 773092-36-7 CAPLUS
CN 3-Piperidinamine, N-(5-benzofuranylmethyl)-4-[4-[3-[(2-methoxyphenyl]methoxy)propoxy]phenyl]- (9CI) (CA INDEX NAME)

RN 773092-37-8 CAPLUS
CN 1H-Indole-5-methanamine, N-[4-[4-[3-[(2-methoxyphenyl)methoxy]propoxy]phen
yl]-3-piperidinyl]- (9CI) (CA INDEX NAME)

RN 773092-38-9 CAPLUS
CN 1-Naphthalenecarboxylic acid, 6-[[[4-[4-[3-[(2methoxyphenyl)methoxy]propoxylphenyl]-3-piperidinyl]amino]methyl]-, methyl
ester (9CI) (CA INDEX NAME)

RN 773092-39-0 CAPLUS

CN 1-Naphthalenecarboxylic acid, 6-[[[4-[4-[3-[(2-methoxyphenyl]methoxy]propoxy]phenyl]-3-piperidinyl]amino]methyl]- (9CI) (CA INDEX NAME)

RN 773092-41-4 CAPLUS

CN 2-Naphthalenecarboxylic acid, 6-[[[4-[4-[3-[(2-methoxyphenyl]methoxy]propoxy]phenyl]-3-piperidinyl]amino]methyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 773092-42-5 CAPLUS

CN 7-Quinolinemethanamine, N-[4-[4-[3-[(2-fluorophenyl)methoxy]propoxy]phenyl ]-3-piperidinyl]- (9CI) (CA INDEX NAME)

RN 773092-43-6 CAPLUS

CN

CN

2-Naphthalenecarboxylic acid, 6-[[[4-[4-[3-[(2-fluorophenyl])methoxy]propoxy]phenyl]-3-piperidinyl]amino]methyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 773092-44-7 CAPLUS

2-Naphthalenecarboxylic acid, 6-[[[4-[4-[3-[(2-fluorophenyl]methoxy]propoxy]phenyl]-3-piperidinyl]amino]methyl]- (9CI) (CA INDEX NAME)

RN 773092-47-0 CAPLUS

CN 3-Piperidinamine, 4-[4-[3-[(2-fluorophenyl)methoxy]propoxy]phenyl]-N-[[4-fluoro-3-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 773092-48-1 CAPLUS
CN Acetic acid, [4-[[[4-[4-[3-[(2-fluorophenyl)methoxy]propoxy]phenyl]-3piperidinyl]amino]methyl]phenoxyl-, methyl ester (9CI) (CA INDEX NAME)

RN 773092-49-2 CAPLUS
CN 2,5-Pyrrolidinedione, 1-[2-[4-[4-[3-[(2-fluorophenyl)methoxy]propoxy]
phenyl]-3-piperidinyl]aminojmethyl]phenoxylethyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

RN 773092-50-5 CAPLUS CN 2-Pyrrolidinone, 1-

2-Pyrrolidinone, 1-[2-[4-[[4-[4-[3-[(2-fluorophenyl)methoxy]propoxy]pheny 1]-3-piperidinyl]amino]methyl]phenoxy]ethyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

RN 773092-52-7 CAPLUS CN 1(2H)-Quinolineaceta

1(2H) -Quinolineacetamide, 3,4-dihydro-7-[[[4-[4-[3-[(2-methoxyphenyl)methoxyphenyl)methoxyphonyx]propoxylphenyl]-3-piperidinyl]amino]methyl]-N,N-dimethyl-(9CI) (CA INDEX NAME)

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ANSWER 4 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN
L4
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2003:97302 CAPLUS AN

DN 138:131154

Use of NK-1 receptor antagonists to modify unwanted anxiety behavior in ТÍ dogs, cats and horses Bronk, Brian Scott; Hickman, Mary Anne; Kilroy, Carolyn Rose TN

Pfizer Products Inc., USA PA

PCT Int. Appl., 43 pp. SO

CODEN: PIXXD2 Patent

DT English

LA

FAN.C	AN.CNT 1 PATENT NO.				KIND DATE			APPLICATION NO.						DATE				
		2003					-	2003	206							21	0020	715
PΙ	WO		00984	48		AT		2003	0200		"0 2	002	DD.	DV.	D.7	CA	CH	CN
		W:	ΑE,	ΑG,	AL,	AM,	AT,	ΑU,	AZ,	BA,	BB,	BG,	BK,	ы,	Б4,	CA,	cn,	CIV,
			co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
			GM.	HR.	HU.	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	ΚZ,	LC,	LK,	LR,
			T.S.	T/T.	T.U.	LV.	MA.	MD.	MG.	MK,	MN,	MW,	MX,	MZ,	NO,	ΝZ,	OM,	PH,
			DI.	DT.	PO.	DII	SD	SE.	SG	ST.	SK.	SL,	TJ.	TM.	TN.	TR.	TT.	TZ.
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			CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	IE,	IT,	LU,	MC,	ΝL,
			PT,	SE,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,
			NE.	SN.	TD.	TG												
	MZ	5296	06			Δ		2003	1219		NZ 2	002-	5296	06		2	0020	715
		1411						2004	0428	NZ 2002-529606 EP 2002-745741						20020715		
	EP	1411	740			77.						IT,						
		R:	AT,	BE,	CH,	DE,	DK,	ES,	ER,	GD,	Gr,	11,	DI,	ДО,	PP,	CV,	nc,	,
								RO,	MK,	CY,	AL,	TR,	BG,	CZ,	EE,	Sr.		
	JP	2005	5040	29		т2						003-						
	US	2003	1394	43		A1					US 2	002-	1992	84		2	0020	719
PRAI	IIS	2001	-306	692P		P		2001	0720									
		2002																
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companion animals comprising administering to a comthereof a therapeutically effective amount of an NK-1 receptor antagonist. 136871-15-3

IT

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(NK-1 receptor antagonists to modify unwanted anxiety behavior in companion animals)

RN 136871-15-3 CAPLUS

3-Piperidinamine, N-[(2-methoxyphenyl)methyl]-2,4-diphenyl- (9CI) (CA CN INDEX NAME)

## RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2000:841966 CAPLUS

DN 134:13350

TI Nitric oxide synthase (NOS) inhibitor combinations with other agents for treatment of disorders treatable by altering circadian rhythm

IN Saltarelli, Mario David; Lowe, John Adams, III

PA Pfizer Products Inc., USA

SO PCT Int. Appl., 113 pp.

CODEN: PIXXD2 DT Patent

LA English

A English AN.CNT 1

FAN.	FAN.CNT 1																	
						KINI				APPLICATION NO.								
										WO 2000-IB295								
PI	WO																	
		W:										, BR,						
												, GE,						
												LK,						
												PT,						
			SK,	SL,	ТJ,	TM,	TR,	TT,	TZ,	UA,	UG,	, US,	UΖ,	VN,	ΥU,	ZA,	ZW,	AM,
								RU,										
		RW:										, UG,						
			DK,	ES,	FI,	FR,	GB,	GR,	IE,	IT,	LU	, MC,	NL,	PT,	SE,	BF,	ВJ,	CF,
			CG,	CI,	CM,	GA,	GN,	GW,	ML,	MR,	NE	, SN,	TD,	TG				
	CA	2374	668			AA		2000	1130		CA :	2000-	2374	668		2	0000	316
	EP	1178	784			A1		2002	0213		EP :	2000-	9078	91		2	0000	316
												, IT,						
						LV,												
	BR	2000							0305		BR :	-000	1082	0		2	0000	316
	TR	2001	0335	1		Т2		2002	0621		TR :	2001-	2001	0335	1	2	0000	316
		2001						2003	0217			2001-					0000	316
		2003						2003	0812			2000-				2	0000	316
		2001						2002				2001-					0011	120
		2001						2003				2001-					0011	120
		2001						2004				2001-					0011	120
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PRAI		2000						2000										
	WO	2000	-1BZ	90		**		2000	0210									

AB New pharmaceutical uses are provided for compds. that exhibit activity as NOS inhibitors. Specifically, the invention provides the use of NOS inhibitors, particularly selective neuronal NOS (nNOS) inhibitors, alone or in combination with another active agent, in particular, either a selective serotonin reuptake inhibitor (SSRI) or an NK-1 receptor antagonist, for the treatment of disorders or conditions the treatment which can be effected or facilitated by altering circadian rhythms. Examples of such disorders and conditions are blindness, obesity, seasonal affective disorder, blood in disorder, jet lag, circadian sleep rhythms disorder, sleep deprivation, parasomnias, REM sleep disorders, hypersomnia, sleep-wake cycle disorders, and sleep disorders

associated with shift work or irregular work schedules; nocturnal enuresis, and restless-legs syndrome.

IT 136871-15-3

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(nitric oxide synthase inhibitor combinations with other agents for treatment of disorders treatable by altering circadian rhythm)

RN 136871-15-3 CAPLUS

CN 3-Piperidinamine, N-[(2-methoxyphenyl)methyl]-2,4-diphenyl- (9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1997:416752 CAPLUS

DN 127:29079

NK-1 receptor antagonists for the treatment of cancer TI

Howard, Harry R. IN

Pfizer Inc., USA PA

Eur. Pat. Appl., 46 pp. so

CODEN: EPXXDW

DТ Patent English

LΑ

FAN.	CNT 1				
	PATENT NO.	KIND DATE		APPLICATION NO.	DATE
PI	EP 773026	A2	19970514	EP 1996-308039	19961106
	EP 773026	A3	19991117		
	R: AT, BE, CH,	DE, DK	, ES, FI,	FR, GB, GR, IE, IT, LI,	LU, NL, PT, SE
	CN 1154240	A	19970716	CN 1996-122019	19961024
	CA 2189501	AA	19970507	CA 1996-2189501	19961104
	AU 9670592	A1	19970515	AU 1996-70592	19961105
	AU 700520	B2	19990107		
	ZA 9609285	A	19980505	ZA 1996-9285	19961105
	US 5990125	A	19991123	US 1997-786128	19970117
	US 6194436	B1	20010227	US 1999-334369	19990616
PRAI	US 1995-7275P	P	19951106		
	US 1996-10232P	P	19960119		
	US 1997-786128	A1	19970117		
os	MARPAT 127:29079				

AB NK-1 receptor antagonists (e.g. Substance P receptor antagonists) (Markush included) are used for the manufacture of a medicament for the treatment of cancer in a mammal, particularly for the treatment of small cell lung carcinoma, APUDoma, astrocytoma, neuroendocrine tumor, or extrapulmonary small cell carcinoma.

IT 136871-15-3

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(Nk-1 receptor antagonists for the treatment of cancer)

RN 136871-15-3 CAPLUS

3-Piperidinamine, N-[(2-methoxyphenyl)methyl]-2,4-diphenyl- (9CI) (CA CN

L4 ANSWER 7 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1997:389101 CAPLUS

DN 127:13461

TI Antiemetic composition containing an NK-1 receptor antagonist

IN Gonsalves, Susan F.; Watson, John W.; Silberman, Sandra L.

PA Pfizer Inc., USA

SO Eur. Pat. Appl., 13 pp.

CODEN: EPXXDW DT Patent

LA English

FAN.CNT 1

L'Aut.						
	PATENT NO.	KIND DATE	APPLICATION NO.	DATE		
ΡĮ	EP 769300	A2 1997042	3 EP 1996-307533	19961017		
	EP 769300	A3 1999112				
	R: AT, BE, CH,	DE, DK, ES, FI	, FR, GB, GR, IE, IT, LI,	LU, NL, PT, SE		
	TW 458774	в 2001101	1 TW 1996-85108626	19960716		
	IL 119418	A1 2001072	4 IL 1996-119418	19961014		
	CN 1151893	A 1997061	8 CN 1996-112447	19961017		
	JP 09110721	A2 1997022	8 JP 1996-297370	19961018		
	CA 2188227	AA 1997042	1 CA 1996-2188227	19961018		
	CA 2188227	C 2000080	8			
	AU 9670279	A1 1997051	5 AU 1996-70279	19961018		
	AU 700841	B2 1999011	4			
	ZA 9608790	A 1998042	0 ZA 1996-8790	19961018		
	NZ 299606	A 2000072	8 NZ 1996-299606	19961018		
PRAI	US 1995-5728P	P 1995102	0			
GI						
	TW 458774 IL 119418 CN 1151893 JP 09110721 CA 2188227 CA 2188227 AU 9670279 AU 700841 ZA 9608790 NZ 299606	B 2001101 A1 2001072 A 1997061 A2 1997042 C 2000086 A1 1997051 B2 1999011 A 1998042 A 2000072	1 TW 1996-85108626 4 IL 1996-119418 8 CN 1996-112447 8 JP 1996-297370 1 CA 1996-2188227 8 5 AU 1996-70279 4 0 ZA 1996-8790 8 NZ 1996-299606	19960716 19961014 19961017 19961018 19961018		

I

- AB Methods are disclosed for treating or preventing emesis in mammals, including humans, using an NK-l antagonist in combination with one or more other active agents selected from (a) a glucocorticoid or corticosteroid, (b) a benzodiazepine, (c) metaclopramide and (d) an intracellular mol. scavenger.
- IT 136971-15-3 R1: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(antiemetic composition with NK-1 receptor antagonist and other agent)

RN 136871-15-3 CAPLUS

CN 3-Piperidinamine, N-[(2-methoxyphenyl)methyl]-2,4-diphenyl- (9CI) (CA INDEX NAME)

L4 ANSWER 8 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1997:356537 CAPLUS

DN 126:325515

TI NK-1 receptor antagonists for prevention of neurogenic inflammation in gene therapy

IN Piedimonte, Giovanni; Hess, Hans J.; Lowe, John A., III

PA Pfizer Inc., USA; Piedimonte, Giovanni; Hess, Hans, J.; Lowe, John, A., Iii

SO PCT Int. Appl., 24 pp. CODEN: PIXXD2

DT Patent

LA English

FAN.	CNT 1				
	PATENT NO.	KIND	DATE	APPLICATION NO.	
PI	WO 9713514	A1	19970417	WO 1996-IB1042	19961002
	W: CA, JP,	MX, US			
	RW: AT, BE,	CH, DE, DI		FR, GB, GR, IE, IT,	LU, MC, NL, PT, SE
	CA 2228572	AA	19970417		19961002
	CA 2228572		20030722		
	EP 854720		19980729		19961002
	EP 854720		19990804		
	R: AT, BE,	CH, DE, Di		GB, GR, IT, LI, LU, I	VL, SE, PT, IE, FI
	AT 182788	E	19990815		
	ES 2134639	Т3	19991001		
	JP 3041051	B2	20000515	JP 1997-514868	19961002
	JP 10511119	T2	19981027		
	US 6562335	B1	20030513	US 1998-77045	19980518
	GR 3031758	Т3	20000229	GR 1999-402849	19991104
PRAI	US 1995-5002P	P	19951010		
	US 1995-6344P	P	19951107		
	WO 1996-IB1042	W	19961002		

AB The present invention relates to a method of preventing or treating the neurogenic inflammation associated with the use of viral vectors in gene therapy in a mammal, including a human, by administering to the mammal an NK-1 receptor antagonist (e.g., a substance P receptor antagonist).

IT 136871-15-3

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (NK-1 receptor antagonists for prevention of neurogenic inflammation in gene therapy)

RN 136871-15-3 CAPLUS

CN 3-Piperidinamine, N-[(2-methoxyphenyl)methyl]-2,4-diphenyl- (9CI) (CA TNDEX NAME)

ANSWER 9 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN L4

AN 1996:551261 CAPLUS

DN 125:185903

NK-1 receptor antagonists for the treatment of neuronal injury and stroke TI TN Lowe, John A., III; Nelson, Robert B.

Pfizer Inc., USA PA

so Can. Pat. Appl., 148 pp. CODEN: CPXXEB

DT Patent

LA	Eng	lish
FAN.	CNT	2

FAN.	CNT 2	KIND	DATE	APPLICATION NO.	DATE
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PΙ	CA 2164804	AA	19960613	CA 1995-2164804	19951208
	CA 2164804	С	19990727		
	IL 116249	A1	20030706	IL 1995-116249	19951204
	AT 260656	E	20040315	AT 1995-308876	19951207
	PT 721778	T	20040730	PT 1995-308876	19951207
	ES 2217274	Т3	20041101	ES 1995-308876	19951207
	AU 9540304	A1	19960620	AU 1995-40304	19951208
	AU 719159	B2	20000504		
	CN 1132072	A	19961002	CN 1995-120596	19951208
	NZ 280627	A	20000623	NZ 1995-280627	19951208
	KR 195651	B1	19990615	KR 1995-48062	19951209
	ZA 9510483	Α	19970609	ZA 1995-10483	19951211
	JP 08239323	A2	19960917	JP 1995-323355	19951212
	US 6376507	B1	20020423	US 1998-99289	19980618
PRAI	US 1994-354702	Α	19941212		

MARPAT 125:185903 OS

RN

CN

- Antagonists to NK-1 neurokinin receptors are useful for treating or AB preventing stroke, epilepsy, head trauma, spinal cord trauma, ischemic neuronal damage such as cerebral ischemic damage from stroke or vascular occlusion (e.g. during open heart surgery), excitotoxic neuronal damage (e.g. in stroke or epilepsy), and amyotrophic lateral sclerosis in mammals, including humans. The antagonists include certain quinuclidine, piperidine, pyrrolidine, azanorbornane, and ethylenediamine derivs. and related compds. that are substance P receptor antagonists (no data).
- IT 136871-15-3 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
  - (NK-1 receptor antagonists for treatment of neuronal injury and stroke) 136871-15-3 CAPLUS
  - 3-Piperidinamine, N-[(2-methoxyphenyl)methyl]-2,4-diphenyl- (9CI) (CA INDEX NAME)

L4 ANSWER 10 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1996:534545 CAPLUS

DN 125:185901

TI NK-1 receptor antagonists for the treatment of neuronal injury and stroke

IN Lowe, John A., III; Nelson, Robert B.

PA Pfizer Inc., USA

SO Eur. Pat. Appl., 75 pp. CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 2

FAN.	CNT	2																	
	PAT	CENT N	ю.			KINI	D	DATE			APE	LICA	rion	I NO	э.		D	ATE	
							-												
PI	EP	72177	18			A2		1996			ΕP	1995	-308	87	6		19	9951	207
	EP	72177	18			A3		1999	1110										
	EP	72177	78			B1		2004	0303										
		R:	AT,	BE,	CH,	DE,	DK,	, ES,	FR,	GB,						LU,			
	IL	11624	19			A1		2003	0706		ΙL	1995	-116	524	9			9951:	
	AT	26065	56			E		2004	0315		AΤ	1995	-308	87	6		15	9951:	207
	PT	7217	78			T		2004	0730		PT	1995	-308	887	6			9951	
	ES	22172	274			Т3		2004	1101		ES	1995	-308	887	6			9951:	
	ΑU	95403	304			A1		1996	0620		ΑU	1995	-403	304			1	9951	208
	ΑU	71915	59			B2		2000	0504										
	CN	11320	072			A		1996	1002		CN	1995	-120	059	6			9951	
	NZ	28062	27			A		2000	0623		NZ	1995	-280	062	7			9951	
	KR	1956	51			В1		1999	0615		KR	1995	-480	062			1	9951	209
	Z.A	9510	183			А		1997	0609		ZA	1995	-104	183			1	9951	211
		0823				A2		1996	0917		JΡ	1995	-323	335	5		1	9951	212
		6376				B1		2002	0423		US	1998	-992	289			1	9980	618
PRAI		1994		702		A		1994	1212										

OS MARPAT 125:185901

AB A method is provided for treating or preventing stroke, epilepsy, head trauma, spinal cord trauma, ischemic neuronal damage, such as cerebral ischemic damage from stroke or vascular occlusion (e.g., during open heart surgery), excitotoxic neuronal damage (e.g., in stroke or epilepsy) and amyotrophic lateral sclerosis in mammals, including humans, using an NK-1 antagonist. Also provided is a method of treating or preventing such disorders in mammals, including humans, using certain quinuclidine derivs., piperidine derivs., pyrrolidine derivs., azanorborname derivs., ethylene diamine derivs. and related compds. that are substance P receptor antagonists.

IT 136871-15-3

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (NK-1 receptor antagonists for the treatment of neuronal injury and stroke)

RN 136871-15-3 CAPLUS

CN 3-Piperidinamine, N-[(2-methoxyphenyl)methyl]-2,4-diphenyl- (9CI) (CA INDEX NAME)

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L4 ANSWER 11 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN
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AN 1996:464513 CAPLUS

DN 125:132779

TI NK-1 receptor antagonists and 5-HT3 receptor antagonists for the treatment of emesis

IN Gonsalves, Susan F. PA Pfizer Inc., USA

PA Pfizer Inc., USA SO Eur. Pat. Appl., 13 pp.

CODEN: EPXXDW

DT Patent

LA English

EAN CUT 1

PAN.	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 715855	A2	19960612	EP 1995-308273	19951120
	EP 715855	A3	19990120		
	R: AT, BE, CH,	DE, DK		B, GR, IE, IT, LI, LU,	
	US 5576317	A	19961119	US 1994-353049	19941209
	IL 116203	A1	20030731	IL 1995-116203	19951130
	JP 08225464	A2	19960903	JP 1995-339871	19951205
	JP 3372156	B2	20030127		
	CN 1132625	A	19961009	CN 1995-120539	19951205
	CN 1082371	В	20020410		
	CA 2164689	AA	19960610	CA 1995-2164689	19951207
	CA 2164689	С	19990316		
	AU 9540306	A1	19960620	AU 1995-40306	19951208
	AU 717776	B2	20000330		
	ZA 9510431	A	19970609	ZA 1995-10431	19951208
	KR 197452	B1	19990615	KR 1995-47841	19951208
PRAI	US 1994-353049	A	19941209		

AB A method is provided for treating or preventing emesis in a mammal,

including a human, by administering a 5-HT3 receptor antagonist and an NK-1 receptor antagonist (e.g., a substance P receptor antagonist). Also provided are pharmaceutical compns. containing a pharmaceutically acceptable carrier, a 5-HT3 receptor antagonist and an NK-1 receptor antagonist. The 5-HT3 antagonist is e.g. ondansetron, tropisetron, or granisetron. More than one hundred NK-1 antagonists are claimed. The antiemetic activity of NK-1 antagonist (2S, 3S)-3-methoxybenzylamino-2-phenylpiperidine, alone and in combination with ondansetron, was determined

IT 179117-96-5

CN

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (NK-1 receptor antagonists and 5-HT3 receptor antagonists for the treatment of emesis)

RN 179117-96-5 CAPLUS

3-Piperidinamine, N-[(5-chloro-2-methoxyphenyl)methyl]-2,4-diphenyl- (9CI) (CA INDEX NAME)

ANSWER 12 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN L4

AN 1996:462448 CAPLUS

DN 125:132804

NK-1 receptor antagonists for the treatment of eye disorders TT

Hess, Hans-Juergen Ernst TN

PA Pfizer Inc., USA

PCT Int. Appl., 169 pp. so CODEN: PIXXD2

DT Patent

LA English

F

AΒ

FAN.	CNT 1			
	PATENT NO.	KIND DATE	APPLICATION NO.	DATE
PI	WO 9614845	A1 19960523	WO 1995-IB811	19950929
	W: CA, JP, MX,	US		
	RW: AT, BE, CH,	DE, DK, ES, FR,	GB, GR, IE, IT, LU, MC,	NL, PT, SE
	CA 2205016	AA 19960523	CA 1995-2205016	19950929
	EP 790825	A1 19970827		19950929
	R: AT, BE, CH,	DE, DK, ES, FR,	GB, GR, IE, IT, LI, LU,	
	JP 10508837	T2 19980902	JP 1995-515865	19950929
PRAI	US 1994-336955	A 19941110		
	WO 1995-IB811	W 19950929		

os MARPAT 125:132804

A method is disclosed for treating or preventing a disorder of the eye, selected from glaucoma, ocular hypertension, miosis, excess lacrimation, hyperemia, and breakdown of the blood aqueous barrier in mammals, including humans, using an NK-1 antagonist. Also disclosed is a method of treating or preventing such disorders in mammals, including humans, using certain quinuclidine derivs., piperidine derivs., pyrrolidine derivs., azanorbornane derivs., and ethylene diamine-derived and related compds. that are substance P receptor antagonists.

IT 136871-15-3

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (NK-1 receptor antagonists for the treatment of eye disorders)

RN 136871-15-3 CAPLUS

3-Piperidinamine, N-[(2-methoxyphenyl)methyl]-2,4-diphenyl- (9CI) CN INDEX NAME)

ANSWER 13 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN L4

AN 1995:808197 CAPLUS

123:218418 · DN

Pharmaceutical agents for the inhibition of angiogenesis TI

Lowe, John A. Iii IN

Pfizer Inc., USA PA

so Can. Pat. Appl., 151 pp.

CODEN: CPXXEB DT Patent

T.A English

FAN. CNT 1

PATENT NO.	KIND DA	ATE	APPLICATION NO.	DATE
PI CA 2136295	AA 19	9950524	CA 1994-2136295	19941121
EP 659409			EP 1994-202995	19941014
R: AT, BE, CH,	DE, DK, E	ES, FR, GB,	GR, IE, IT, LI, LU,	NL, PT, SE
PRAI US 1993-157493	A 19	9931123		

os MARPAT 123:218418

AB

The present invention relates to medicine for (a) inhibiting angiogenesis in mammals or (b) treating or preventing a disease or condition that is caused or mediated by angiogenesis or of which angiogenesis is a symptom in a mammal, using compds. that are substance P receptor antagonists and, specifically, certain quinuclidine derivs., piperidine derivs., pyrrolidine derivs., azanorbornane derivs., ethylenediamine derivs. and related compds.

IT 136871-15-3

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(pharmaceuticals for the inhibition of angiogenesis) RN 136871-15-3 CAPLUS

3-Piperidinamine, N-[(2-methoxyphenyl)methyl]-2,4-diphenyl- (9CI) (CA CN INDEX NAME)

ANSWER 14 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN L4

1995:667293 CAPLUS ΑN

123:65828 DN

Pharmaceuticals for treatment or prevention of sunburn. TI

Hess, Hans-Jurgen Ernst; Nagahisa, Atsushi IN

PA Pfizer Inc., USA

so Eur. Pat. Appl., 91 pp.

CODEN: EPXXDW DT Patent

T.A

English

PAN.	CNT I				
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 653208	A2	19950517	EP 1994-203210	19941103
	EP 653208	A3	19951011		
	R: AT, BE, CH,	DE, DK	, ES, FR,	GB, GR, IE, IT, LI, LU,	NL, PT, SE
	CA 2135837	AA	19950518	CA 1994-2135837	19941115
PRAI	US 1993-153682	A	19931117		

MARPAT 123:65828 os

The present invention relates to the use of certain quinuclidine, AB piperidine, azanorbornane derivs. and related compds., for the manufacture of a drug for the treatment or prevention of sunburn. The antisunburn activity of compds, that are substance P receptor antagonists was demonstrated in guinea pigs.

136871-15-3 IT

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BUU (Biological use, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(pharmaceuticals for treatment or prevention of sunburn)

136871-15-3 CAPLUS RN

3-Piperidinamine, N-[(2-methoxyphenyl)methyl]-2,4-diphenyl- (9CI) (CA CN INDEX NAME)

ANSWER 15 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN T.4

AN 1995:648256 CAPLUS

124:763 DN

Substance P antagonists for treatment of disorders caused by Helicobacter TI pylori or other spiral urease-positive gram-negative bacteria

IN Clancy, Joanna

Pfizer Inc., USA PA SO Eur. Pat. Appl., 92 pp.

CODEN: EPXXDW

ידת Patent

LA English

FAN.	CNT	1														
	PA'	CENT 1	10.			KINI	)	DATE		AP	PLICAT	I NOI	.01		DATE	2
							-							<del>-</del>		
PI	EP	6552	16			A1		1995	0531	EP	1994-	3084	80		1994	11116
		R:	AT,	BE,	CH,	DE,	DK	, ES,	FR,					LU,	NL, P	
	CA	21368	301			AA		1995	0531	CA	1994-	2136	801		1994	11128
	CA	21368	301			С		1999	0223							
	US	5750	535			A		1998	0512	US	1995-	5205	22		1995	50829
PRAI	US	1993	-1591	L57		Α		1993	1130							

os MARPAT 124:763

AB Disorders caused by spiral urease-pos. gram-neg. bacteria such as H. pylori in mammals, including humans, are treated or prevented with substance P receptor antagonists, e.g. quinuclidines, piperidines, pyrrolidines, azanorbornanes, ethylenediamine derivs., etc. (Markush structures given) (no data).

TΤ 136871-15-3

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(substance P antagonists for treatment of disorders caused by

Helicobacter pylori or other spiral urease-pos. gram-neg. bacteria) RN 136871-15-3 CAPLUS

3-Piperidinamine, N-[(2-methoxyphenyl)methyl]-2,4-diphenyl- (9CI) (CA CN INDEX NAME)

ANSWER 16 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN L4

AN 1995:397278 CAPLUS

DN 122:178403

Substance P antagonists for the treatment of emesis TI

Desai, Manoj C.; Lowe, John A., III; Watson, John W. IN PA Pfizer Inc., USA

so Eur. Pat. Appl., 93 pp.

CODEN: EPXXDW

DT Patent

English LA

FAN. CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	EP 627221	A2	19941207	EP 1994-303467	19940516
	EP 627221	A3	19950802		
	EP 627221	B1	20011128		
	R: AT, BE, CH,	DE, DK	, ES, FR,	GB, GR, IE, IT, LI, LU,	NL, PT, SE
	US 5393762	A	19950228	US 1993-72629	19930604
	AT 209490	E	20011215	AT 1994-303467	19940516
	ES 2164088	Т3	20020216	ES 1994-303467	19940516
	PT 627221	T	20020429	PT 1994-303467	19940516
	IL 109802	A1	20020421	IL 1994-109802	19940526
	JP 07053362	A2	19950228	JP 1994-121042	19940602
	CA 2124990	С	19990420	CA 1994-2124990	19940602
	AU 9464521	A1	19941215	AU 1994-64521	19940603
	AU 666077	B2	19960125		
	ZA 9403896	A	19951204	ZA 1994-3896	19940603
	HU 71550	A2	19951228	HU 1994-1676	19940603
	CN 1121806	A	19960508	CN 1994-106917	19940603
	CN 1100535	В	20030205		
	KR 190729	B1	19990601	KR 1994-12527	19940603
	RU 2135179	C1	19990827	RU 1994-20410	19940603
	NZ 260674	A	20000728	NZ 1994-260674	19940603
PRAT	US 1993-72629	A	19930604		
	Wannam 100-170400				

os MARPAT 122:178403

Ouinuclidine derivs., piperidine derivs., azanorbornane derivs., and related compds. (Markush included) are disclosed for treating or preventing emesis in mammals, including humans. The compound cis-3-[(2-methoxyphenyl)methylamino]-2-benzhydrylquinuclidine inhibited cisplatinum-induced emesis in ferrets when administered at a dose of 10 mg/kg s.c., 30 min before cisplatinum exposure.

IT 136871-15-3

AB

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (quinuclidine derivs., piperidine derivs., azanorbornane derivs., and related compds. as substance P antagonists for the treatment of emesis) 136871-15-3 CAPLUS

RN 3-Piperidinamine, N-[(2-methoxyphenyl)methyl]-2,4-diphenyl- (9CI) (CA CN INDEX NAME)

ANSWER 17 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN L4

AN 1994:595919 CAPLUS

121:195919 DN

Pharmaceutical agents for treatment of urinary incontinence TI

IN Desai, Manoj C.; Lowe, Iii John A.; Rosen, Terry J.

PA Pfizer Inc., USA

so Eur. Pat. Appl., 59 pp.

CODEN: EPXXDW

DT Patent

LA	Eng	ТJ	sn
FAN.	CNT	1	

	PA'	TENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP	610021	A1	19940810	EP 1994-300575	19940126
		R: AT, BE, CH	DE, DE	K, ES, FR,	GB, GR, IE, IT, LI, LU,	
	US	5340826	A	19940823		19930204
	US	5519033	A	19960521	US 1994-251493	19940531
PRAI	US	1993-13277	A	19930204		

AB Urinary incontinence is prevented or treated in mammals, including humans, by administration of certain quinuclidine derivs., piperidine derivs., azanorbornane derivs., ethylenediamine derivs., and related compds. which act as substance P receptor antagonists (no data). The preferred dosage range is 0.07-21 mg/kg orally or parenterally.

IT 136871-15-3

RL: BIOL (Biological study)

(bladder incontinence treatment with)

RN 136871-15-3 CAPLUS

3-Piperidinamine, N-[(2-methoxyphenyl)methyl]-2,4-diphenyl- (9CI) (CA CN INDEX NAME)

- ANSWER 18 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN T.4
- AN 1994:483063 CAPLUS
- DN 121:83063
- Preparation of 3-aminopiperidine derivatives and related nitrogen TI containing heterocycles for use in treatment of inflammatory and CNS disorders
- IN Desai, Manoi C.; Rosen, Terry J.
- Pfizer Inc., USA PA
- U.S., 42 pp. Cont.-in-part of U.S. Ser. No. 619,361, abandoned. so CODEN: USXXAM
- DTPatent

English FAN CMT 2

PAN.C	NI Z				
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5232929	Α	19930803		
	CA 2111461	AA	19930121	CA 1992-2111461	19920521
	CA 2111461	С	19961217		
	WO 9301170	A1	19930121	WO 1992-US4008	19920521
	W: CA, JP				
	RW: AT, BE, CH,	DE, DK	, ES, FR, G	GB, GR, IT, LU, MC, NL,	SE
	EP 594636	A1	19940504	EP 1992-911581	19920521
	EP 594636		19980121		
	R: AT, BE, CH,	DE, DK	, ES, FR, G	GB, GR, IT, LI, LU, NL,	SE
	JP 06508828	T2	19941006	JP 1992-511510	19920521
	JP 2531565	B2	19960904		
	AT 162521	E	19980215		19920521
	ES 2111639	Т3	19980316	ES 1992-911581	19920521
	US 5332817	A	19940726	US 1993-14970	19930208
PRAI	US 1990-619361	B2	19901128		
	US 1991-724268	A	19910701		
	WO 1992-US4008	W	19920521		
	*** P. T				

OS MARPAT 121:83063

For diagram(s), see printed CA Issue. GI AB

Title compds. I [Y = (CH2)n n = 1-6; any one of C-C in (CH2)n may be replaced by C-C double bond; (un) substituted R4, R7 = H, HO, halo, amino, O, etc.; m = 0-8, R8 = HON:, etc.; R1 = H, (substituted) C1-8 alkyl; R2 = H, C1-6 alkyl, (substituted) C3-7 cycloalkyl, Ph, naphthyl, heterocyclyl, phenyl-C2-6 alkyl, etc.; R5 = H, Ph, C1-6 alkyl; R2R5C = (substituted) C3-7 carbocyclyl; R3 = Ph, naphthyl, heterocyclyl, etc.; R6 = R9CONH, R9CH2NH, R9O2S wherein R9 = C1-6 alkyl, H, Ph, Ph-C1-6-alkyl with provisos] or as pharmaceutically acceptable salts, useful in treatment of inflammatory and CNS disorders (no data), are prepared 2-0xo-5-hydroxyimino-6-phenylpiperidine (preparation given) in EtOH was hydrogenated in the presence of Raney Ni to give a mixture of cis- and trans-5-amino-2-oxo-6phenylpiperidine to which was added 2-methoxybenzaldehyde/sodium cyanoborohydride to give cis-5-(2-methoxybenzylamino)-2-oxo-6phenylpiperidine which was treated with borane dimethylsulfide to give

benzylaminopiperidine cis-II. TT 136920-93-9P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation and reaction. of, in preparation of drug for treatment of inflammation and CNS disorders)

RN 136920-93-9 CAPLUS

2-Piperidinone, 5-[[(2-methoxyphenyl)methyl]amino]-4,6-diphenyl- (9CI) CN (CA INDEX NAME)

136871-15-3P 136898-70-9P TΤ

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, for treatment of inflammation and CNS disorders)

136871-15-3 CAPLUS

RN

RN 136898-70-9 CAPLUS

3-Piperidinamine, N-[(2-methoxyphenyl)methyl]-2,4-diphenyl-, hydrochloride CN (9CI) (CA INDEX NAME)

## ●x HCl

ANSWER 19 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN T.4

- AN 1991:632089 CAPLUS
- DN 115:232089
- 3-Aminopiperidine derivatives and related nitrogen-containing heterocycles TI
- Desai, Manoj C.; Rosen, Terry J. IN
- Pfizer Inc., USA PA

- Eur. Pat. Appl., 67 pp. so CODEN: EPXXDW
- DTPatent
- English LA

FAN.	CNT	1											
	PAT	ENT NO.			KINI	)	DATE		API	PLICAT	ION NO.		DATE
						-		-					
PI		436334			A2		1991071		EP	1990-	313680		19901214
	EP	436334			A3		1992052	7					
	EP	436334			B1		1994120	7					
		R: AT	BE,	CH,	DE,	DK	, ES, FR	, GI	B, GI	R, IT,	LI, LU	, NL,	SE
	WO	9109844			A1		1991071	1	WO	1990-	US116		19900104
		W: FI	, HU,	NO,	RO,	SU	, us						
	EP	558156			A2		1993090	1	EP	1993-	201034		19901214
	EP	558156			A3		1993100	6					
		R: AT	BE,	CH,	DE,	DK	, ES, FR	, G	B, GI	R, IT,	LI, LU	, NL,	SE
	ES	2064667			Т3		1995020	1	ES	1990-	-313680		19901214
	JP	0410357	0		A2		1992040	6	JP	1990-	409476		19901228
	JP	0705774	В		B4		1995062	1					
	IL	96821			A1		1997031	8	IL	1990-	96821		19901228
	IL	112348			A1		1998061	5	IL	1990-	-112348		19901228
	CA	2033497			AA		1991070	5	CA	1991-	-2033497		19910102
	CA	2033497			С		1997010	7					
	AU	9168621			A1		1991071	8	AU	1991-	-68621		19910102

•		AU	625511	B2	19920716			
		HU	60719	A2	19921028	HU	1991-6	19910102
		PL	163967	В1	19940630	PL	1991-288592	19910102
		PL	164203	B1	19940630	PL	1991-293390	19910102
		PL	164204	B1	19940630		1991-293391	19910102
		PL	164205	B1	19940630	PL	1991-293392	19910102
		PL	164244	В1	19940729	PL	1991-293389	19910102
		HU	68130	A2	19950529	HU	1992-3403	19910102
		HU	68180	A2	19950529	HU	1992-3404	19910102
		HU	68179	A2	19950529	HU	1992-3405	19910102
		FI	9100034	A	19910705	FI	1991-34	19910103
		FI	114096	B1	20040813			
		NO	9100016	A	19910705	NO	1991-16	19910103
		NO	178187	В	19951030			
		NO	178187	С	19960207			
		CN	1053060	A	19910717	CN	1991-100039	19910103
		CN	1035944	В	19970924			
		BR	9100016	A	19911022		1991-16	19910103
		ZA	9100036	A	19920826		1991-36	19910103
		cz	289485	В6	20020213		1991-10	19910104
		RU	2105758	C1	19980227		1991-5010406	19911223
			1087083	A	19940525	CN	1993-116286	19930820
		CN	1045595	В	19991013			
		FI	2004000479	A	20040401	FΙ	2004-479	20040401
	PRAI		1990-US116	A	19900104			
			1990-313680	A	19901214			
			1990-96821	A3	19901228			
			1991-6	A	19910102			
		MAI	RPAT 115:232089					
	GI							

(CH<sub>2</sub>)<sub>n</sub> NHCH<sub>2</sub> R<sub>R</sub>

AB Title compds., e.g., I (n = 1, 2; R = H, 2-OMe; R = Ph, CHPh2), were prepared for treatment of inflammatory, central nervous system, and other disorders. Thus, 2-oxo-5-oximino-6-phenylpiperidine was hydrogenated over Raney Ni in EtOH-MeOH to give cis- and trans-5-amino-2-oxo-6-phenylpiperidine, which reacted with NaBH3CN and 2-MeoCGH4CHO in HCl-MeOH containing 4-Å sieves to give cis-5-[(2-methoxybenzyl)amino]-2-oxo-6-phenylpiperidine (II). Reduction of II with BH3:SMe2 in THF gene cis-I (n = 1, R = 2-OMe, Rl = Ph) as the HCl salt in 968 yield.

IT 136920-93-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

(preparation and reduction of)

I

RN 136920-93-9 CAPLUS

CN 2-Piperidinone, 5-[[(2-methoxypheny1)methy1]amino]-4,6-diphenyl- (9CI)
(CA INDEX NAME)

IT 136898-70-9P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of) 136898-70-9 CAPLUS

RN 136898-70-9 CAPLUS CN 3-Piperidinamine, N-[(2-methoxyphenyl)methyl]-2,4-diphenyl-, hydrochloride (9CI) (CA INDEX NAME)

●x HCl

IT 136871-15-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as pharmaceutical)

RN 136871-15-3 CAPLUS

CN 3-Piperidinamine, N-[(2-methoxyphenyl)methyl]-2,4-diphenyl- (9CI) (CA INDEX NAME)